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Drug combination of soft steroid and beta-2-adrenoceptor agonist, administered by inhalation for effective treatment of respiratory or allergic diseases, e.g. asthma
Patent Assignee: ASTA MEDICA AG (ASTA); VIATRIS GMBH & CO KG (VIAT-N)
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Number of Countries: 059 Number of Patents: 006
Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week	
DE 19947235	A1	20010405	DE 1047235	A	19990930	200129	B
WO 200122956	A2	20010405	WO 2000EP9392	A	20000926	200129	
AU 200079074	A	20010430	AU 200079074	A	20000926	200142	
EP 1216047	A2	20020626	EP 2000969304	A	20000926	200249	
			WO 2000EP9392	A	20000926		
BR 200014374	A	20020625	BR 200014374	A	20000926	200251	
			WO 2000EP9392	A	20000926		
CZ 200201095	A3	20020814	WO 2000EP9392	A	20000926	200263	
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Priority Applications (No Type Date): DE 1047235 A 19990930
Patent Details:

Patent No	Kind	Lan	Pg	Main IPC	Filing Notes
DE 19947235	A1		8	A61K-031/56	
WO 200122956	A2	G		A61K-031/00	
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AU 200079074	A			A61K-031/00	Based on patent WO 200122956
EP 1216047	A2	G		A61K-031/57	Based on patent WO 200122956
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BR 200014374	A			A61K-031/00	Based on patent WO 200122956
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Abstract (Basic): DE 19947235 A1

NOVELTY - A pharmaceutical composition contains a 'soft' steroid or its ester (A) and/or at least one beta2-adrenoceptor agonist (B), for simultaneous, sequential or separate administration by inhalation for the treatment of respiratory diseases.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for: (i) a medicament for the treatment of allergies and/or respiratory diseases, containing effective amounts of loteprednol (A') and at least one beta2-sympathicomimetic agent (B) in free or fixed combination, optionally together with conventional auxiliaries or carriers; (ii) the preparation of medicaments as in (i) by mixing and formulating the appropriate components; and (iii) the use of (A') and (B') for the preparation of a medicament for use as in (i).

ACTIVITY - Antiasthmatic; antiinflammatory; respiratory; antiallergic. In tests for the inhibition of ovalbumin-induced cleavage-phase eosinophilia in sensitized guinea pigs by intrapulmonary administration, loteprednol at 0.001 mg/kg alone gave 10.5% inhibition, formoterol at 0.001 mg/kg alone gave 20.4% inhibition and a combination

of loteprednol and formoterol each at 0.001 mg/kg gave 64.5% inhibition.

MECHANISM OF ACTION - beta2-Adrenoceptor agonist; tumor necrosis factor-alpha release inhibitor.

USE - For treating respiratory tract diseases such as diseases of the lower respiratory tract, chronic obstructive respiratory tract diseases, bronchial asthma, chronic obstructive bronchitis, pulmonary emphysema with reversible obstruction and other bronchial diseases; and also for treating allergies such as allergic conjunctivitis.

ADVANTAGE - The (A)/(B) combinations are markedly more effective than either agent alone in inhibiting lipopolysaccharide-induced tumor necrosis factor-alpha release from diluted human blood and in inhibiting ovalbumin-induced cleavage-phase eosinophilia in sensitized guinea pigs. Compared with conventional corticosteroids such as budesonide, (A) have markedly reduced side-effects and toxicity and higher therapeutic index when used in treatment of cleavage-phase eosinophilia. The (A)/(B) combinations are highly effective when administered by inhalation and easy to administer in aerosol form.

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Technology Focus:

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Components: The 'soft' steroid (A) is loteprednol and the beta2-sympathicomimetic agent (B) is formoterol, salmeterol or reproterol.

Title Terms: DRUG; COMBINATION; SOFT; STEROID; BETA; ADRENOCEPTOR; AGONIST; ADMINISTER; INHALE; EFFECT; TREAT; RESPIRATION; ALLERGIC; DISEASE; ASTHMA

Derwent Class: B05

International Patent Class (Main): A61K-031/00; A61K-031/56; A61K-031/57

International Patent Class (Additional): A61K-031/045; A61K-031/165; A61P-011/00; A61P-011/06

File Segment: CPI

Manual Codes (CPI/A-N): B01-B02; B04-A06; B10-B03B; B14-G02A; B14-K01; B14-K01A; B14-L01; B14-N03

Chemical Fragment Codes (M2):

03 G013 G015 G100 H1 H102 H181 H4 H402 H441 H481 H5 H541 H8 J0 J011 J3 J341 M210 M211 M272 M281 M312 M313 M321 M331 M332 M342 M343 M373 M392 M414 M431 M510 M520 M532 M540 M782 M904 M905 P431 P617 P820 P822 P922 R06643-K R06643-T R06643-M R06644-K R06644-T R06644-M

04 G010 G015 G100 H1 H102 H181 H4 H403 H441 H482 H5 H581 H8 M280 M311 M312 M314 M315 M321 M332 M342 M343 M373 M383 M391 M393 M414 M431 M510 M520 M532 M540 M782 M904 M905 P431 P617 P820 P822 P922 R16589-K R16589-T R16589-M R18850-K R18850-T R18850-M

05 D011 D015 D932 G016 G100 H1 H102 H182 H2 H201 H212 H4 H403 H442 H481 H8 J5 J522 L9 L910 M210 M211 M273 M282 M312 M313 M321 M332 M342 M343 M373 M383 M391 M412 M431 M511 M520 M531 M540 M782 M904 M905 P431 P617 P820 P822 P922 R06392-K R06392-T R06392-M

06 G015 G100 H1 H102 H181 H4 H403 H441 H482 H8 M210 M214 M233 M273 M281 M311 M312 M321 M332 M342 M343 M373 M392 M414 M431 M510 M520 M531 M540 M782 M904 M905 M910 P431 P617 P820 P822 P922 R02007-K R02007-T R02007-M R06679-K R06679-T R06679-M

Chemical Fragment Codes (M5):

01 M431 M782 M904 M905 P431 P820 P822 P922 RA1GHF-K RA1GHF-T RA1GHF-M

02 M431 M782 M904 M905 P431 P820 P822 P922 R19354-K R19354-T R19354-M

Derwent Registry Numbers: 2007-U

Specific Compound Numbers: RA1GHF-K; RA1GHF-T; RA1GHF-M; R19354-K; R19354-T; R19354-M; R06643-K; R06643-T; R06643-M; R06644-K; R06644-T; R06644-M; R16589-K; R16589-T; R16589-M; R18850-K; R18850-T; R18850-M; R06392-K; R06392-T; R06392-M; R02007-K; R02007-T; R02007-M; R06679-K; R06679-T; R06679-M

Key Word Indexing Terms:

01 147169-1-0-0-CL 111165-1-0-0-CL 95529-1-0-0-CL 106472-0-0-0-CL

105475-0-0-0-CL 106444-0-0-0-CL

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